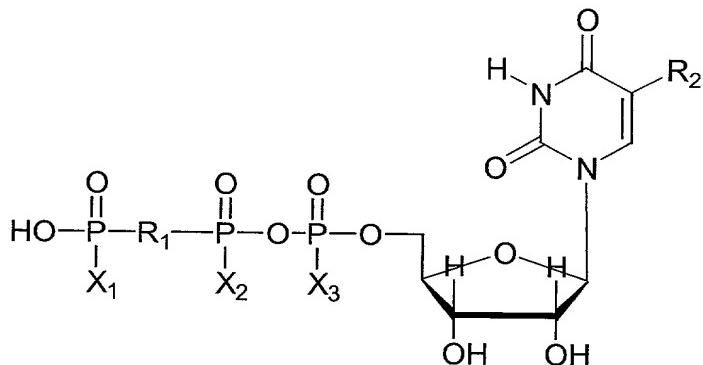


**WHAT IS CLAIMED IS:**

1. A method of enhancing drainage of the lacrimal system comprising the  
 5 step of administering to the eyes of a subject an effective amount of a preparation  
 comprising a compound selected from the group consisting of uridine 5'-triphosphate and  
 derivatives as depicted in Formula I, dinucleoside polyphosphates as depicted in  
 Formulae II, II(a) and II(b), adenosine 5'-triphosphate derivatives as depicted in Formula  
 III, and cytidine 5'-triphosphate derivatives as depicted in Formula IV, and their  
 10 pharmaceutically acceptable salts;

whereby said preparation enhances drainage of the lacrimal system in the eyes in the subject:

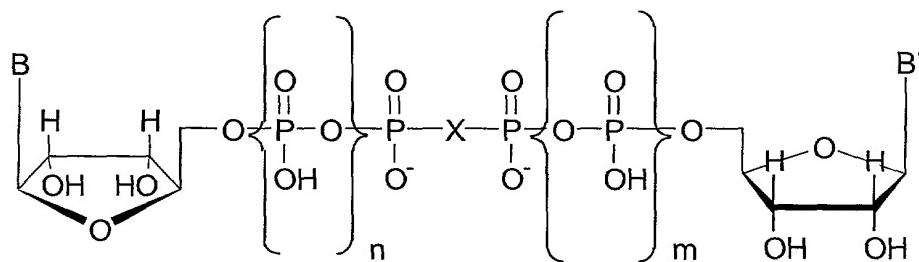
**Formula I**

15 wherein:

X<sub>1</sub>, X<sub>2</sub> and X<sub>3</sub> are each independently either O<sup>-</sup> or S;  
 R<sub>1</sub> is O, imido, methylene or dihalomethylene;  
 R<sub>2</sub> is H or Br;

**Formula II**

5



10

wherein:

X is oxygen, imido, methylene or difluoromethylene;

n = 0 or 1;

15

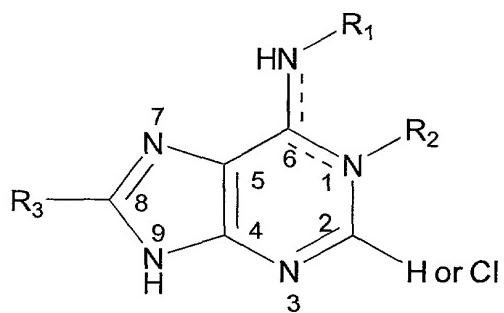
m = 0 or 1;

n + m = 0, 1 or 2; and

B and B' are each independently a purine residue, as in Formula IIa, or a pyrimidine residue, as in Formula IIb, linked through the 9- or 1-position, respectively:

**Formula IIa**

20



25

wherein:

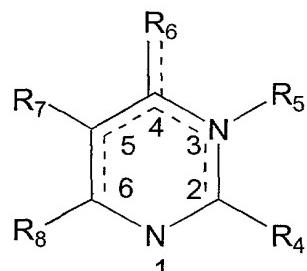
R<sub>3</sub> is H or NHR<sub>1</sub>;R<sub>1</sub> of the 6- or 8-HNR<sub>1</sub> groups is chosen from the group consisting of hydrogen, arylalkyl (C<sub>1-6</sub>) groups; and alkyl groups with functional groups selected from the group consisting of [6-aminohexyl]carbamoylmethyl-, and ω-acylated-amino, hydroxy, thiol or

carboxy derivatives, where the acyl group is chosen from the group consisting of acetyl, trifluoroacetyl, benzoyl, and substituted-benzoyl;

**Formula IIb**

5

10



wherein:

15      R<sub>4</sub> is hydroxy, mercapto, amino, cyano, aralkoxy, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkylamino or dialkylamino, with the alkyl groups optionally linked to form a heterocycle;

R<sub>5</sub> is hydrogen, acyl, C<sub>1-6</sub> alkyl, aroyl, C<sub>1-5</sub> alkanoyl, benzoyl, or sulphonate;

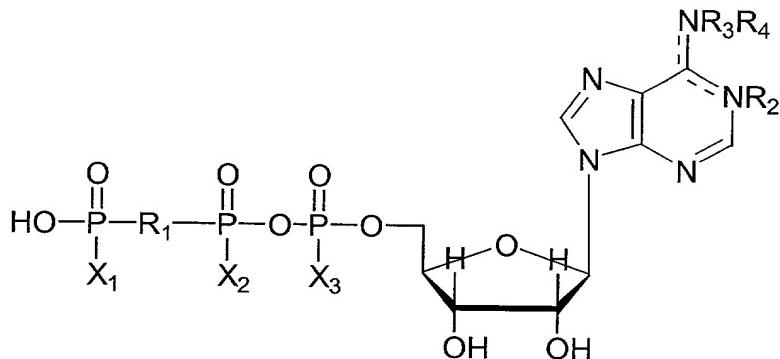
20      R<sub>6</sub> is hydroxy, mercapto, alkoxy, aralkoxy, C<sub>1-6</sub>-alkylthio, C<sub>1-5</sub> disubstituted amino, triazolyl, alkylamino or dialkylamino, where the alkyl groups are optionally linked to form a heterocycle or linked to N<sup>3</sup> to form an optionally substituted ring;

25      R<sub>7</sub> is hydrogen, hydroxy, cyano, nitro, alkenyl with the alkenyl moiety optionally linked through oxygen to form a ring optionally substituted on the carbon adjacent to the oxygen with alkyl or aryl groups, substituted alkynyl, halogen, alkyl, substituted alkyl, perhalomethyl, C<sub>2-6</sub> alkyl, C<sub>2-3</sub> alkenyl, or substituted ethenyl, C<sub>2-3</sub> alkynyl or substituted alkynyl;

30      or together R<sub>6</sub> – R<sub>7</sub> form a 5 or 6-membered saturated or unsaturated ring bonded through N or O at R<sub>6</sub>, such a ring optionally contains substituents that themselves contain functionalities; provided that when R<sub>8</sub> is amino or substituted amino, R<sub>7</sub> is hydrogen; and

R<sub>8</sub> is hydrogen, alkoxy, arylalkoxy, alkylthio, arylalkylthio, carboxamidomethyl, carboxymethyl, methoxy, methylthio, phenoxy or phenylthio;

30

**Formula III**

wherein:

$\text{R}_1$ ,  $\text{X}_1$ ,  $\text{X}_2$  and  $\text{X}_3$  are defined as in Formula I;

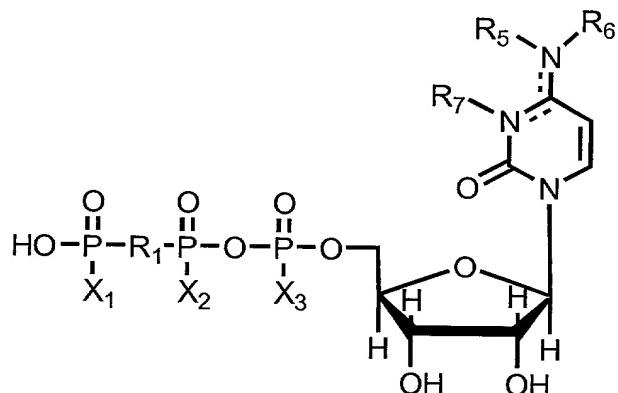
$\text{R}_3$  and  $\text{R}_4$  are H while  $\text{R}_2$  is nothing and there is a double bond between N-1 and

5 C-6, or

$\text{R}_3$  and  $\text{R}_4$  are H while  $\text{R}_2$  is O and there is a double bond between N-1 and C-6, or

$\text{R}_3$ ,  $\text{R}_4$  and  $\text{R}_2$  taken together are  $-\text{CH}=\text{CH}-$ , forming a ring from N-6 to N-1 with a double bond between N-6 and C-6;

10

**Formula IV**

15

20

wherein:

$\text{R}_1$ ,  $\text{X}_1$ ,  $\text{X}_2$  and  $\text{X}_3$  are defined as in Formula I;

R<sub>5</sub> and R<sub>6</sub> are H while R<sub>7</sub> is nothing and there is a double bond between N-3 and C-4, or

R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> taken together are -CH=CH-, forming a ring from N-3 to N-4 with a double bond between N-4 and C-4 optionally substituted at the 4- or 5-position of the  
5 etheno ring.

2. The method according to Claim 1, wherein said method treats nasolacrimal duct obstruction.

10 3. The method according to Claim 1, wherein said compound is a compound of Formula I.

4. The method according to Claim 1, wherein said compound is a compound of Formula II.

15 5. The method according to Claim 1, wherein said compound is a compound of Formula III.

20 6. The method according to Claim 1, wherein said compound is a compound of Formula IV.

25 7. The method according to Claim 1, wherein said administration involves topical administration of said compound via a carrier vehicle selected from a group consisting of drops of liquid, liquid wash, gels, ointments, sprays and liposomes.

30 8. The method according to Claim 7, wherein said topical administration comprises infusion of said compound to said ocular surface via a device selected from a group consisting of a pump-catheter system, a continuous or selective release device, and a contact lens.

9. The method according to Claim 1, wherein said administration involves systemic administration of said compound by administering a liquid or liquid suspension of said compound via nose drops, nasal spray, or nebulized liquid to oral or

nasopharyngeal airways of said subject, such that a therapeutically effective amount of said compound contacts the lacrimal tissues of said subject via systemic absorption and circulation.

5        10.      The method according to Claim 1, wherein said systemic administration of said compound is accomplished by administering an oral form of said compound, such that a therapeutically effective amount of said compound contacts the lacrimal tissues of said subject via systemic absorption and circulation.

10       11.      The method according to Claim 9, wherein said systemic administration of said compound is accomplished by administering an injectable form of said compound, such that a therapeutically effective amount of said compound contacts the lacrimal tissues of said subject via systemic absorption and circulation.

15       12.      The method according to Claim 9, wherein said systemic administration of said compound is accomplished by administering a suppository form of said compound, such that a therapeutically effective amount of said compound contacts the lacrimal tissues of said subject via systemic absorption and circulation.

20       13.      The method according to Claim 9, wherein said systemic administration of said compound is accomplished by administering an intra-operative instillation of a gel, cream, powder, foam, crystals, liposomes, spray or liquid suspension form of said compound, such that a therapeutically effective amount of said compound contacts the lacrimal tissues of said subject via systemic absorption and circulation.

25       14.      The method according to Claim 1, wherein said compound is administered in an amount sufficient to achieve concentrations thereof on the ocular surfaces of said subject of from about  $10^{-7}$  to about  $10^{-1}$  moles/liter.

30       15.      A method of enhancing drainage of the lacrimal system in eyes comprising the step of administering to the eyes an effective amount of P<sup>1</sup>, P<sup>4</sup>-di(uridine-5')-tetraphosphate.